

09/ 910,702

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NEWS 6 AUG 18 Data available for download as a PDF in RDISCLOSURE
NEWS 7 AUG 18 Simultaneous left and right truncation added to PASCAL
NEWS 8 AUG 18 FROSTI and KOSMET enhanced with Simultaneous Left and Right Truncation
NEWS 9 AUG 18 Simultaneous left and right truncation added to ANABSTR
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NEWS 13 OCT 10 PCTFULL: Two new display fields added
NEWS 14 OCT 21 BIOSIS file reloaded and enhanced
NEWS 15 OCT 28 BIOSIS file segment of TOXCENTER reloaded and enhanced

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STRUCTURE FILE UPDATES: 14 NOV 2003 HIGHEST RN 617243-77-3
DICTIONARY FILE UPDATES: 14 NOV 2003 HIGHEST RN 617243-77-3

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

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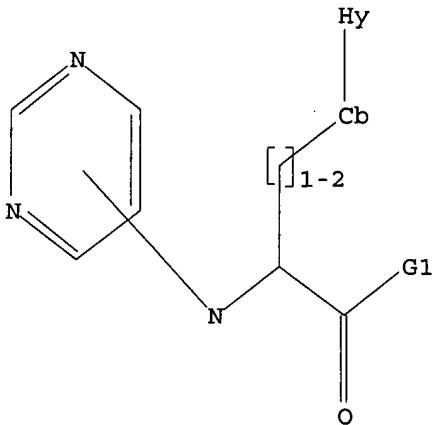
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Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

=>
Uploading 09910702.str

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 O,N

Structure attributes must be viewed using STN Express query preparation.

=> s l1 ful
FULL SEARCH INITIATED 12:27:11 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 27922 TO ITERATE

100.0% PROCESSED 27922 ITERATIONS 2 ANSWERS
SEARCH TIME: 00.00.01

L2 2 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS
FULL ESTIMATED COST

SINCE FILE ENTRY	TOTAL SESSION
148.15	148.36

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FILE COVERS 1907 - 16 Nov 2003 VOL 139 ISS 21
 FILE LAST UPDATED: 14 Nov 2003 (20031114/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 12
 L3 2 L2

=> d 13 1- ibib abs hitstr
 YOU HAVE REQUESTED DATA FROM 2 ANSWERS - CONTINUE? Y/ (N) :y

L3 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2002:90022 CAPLUS
 DOCUMENT NUMBER: 136:129056
 TITLE: .alpha.-Amino acid derivatives for inhibitors of leukocyte adhesion mediated by VLA-4
 INVENTOR(S): Konradi, Andrei W.; Pleiss, Michael A.; Thorsett, Eugene D.; Ashwell, Susan; Welmaker, Gregory S.; Kreft, Anthony; Sarantakis, Dimitrios; Dressen, Darren B.; Grant, Francine S.; Semko, Christopher; Xu, Ying-Zi
 PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; American Home Products Corporation
 SOURCE: PCT Int. Appl., 125 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002008202	A2	20020131	WO 2001-US23075	20010720
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2002052470	A1	20020502	US 2001-910702	20010720
PRIORITY APPLN. INFO.:			US 2000-220132P	P 20000721

OTHER SOURCE(S): MARPAT 136:129056

AB Disclosed are certain alpha-amino acid compds. which bind VLA-4. Certain of these compds. also inhibit leukocyte adhesion and, in particular, leukocyte adhesion mediated by VLA-4. Such compds. are useful in the treatment of inflammatory diseases in a mammalian patient, e.g., human, such as asthma, Alzheimer's disease, atherosclerosis, AIDS dementia, diabetes, inflammatory bowel disease, rheumatoid arthritis, tissue transplantation, tumor metastasis and myocardial ischemia. The compds. can also be administered for the treatment of inflammatory brain diseases such as multiple sclerosis. Prepn. of N-[5-(2,2,2-trifluoroethyl)pyrimidin-4-yl]-L-4'-(1-methyl-4-methoxy-2-pyridon-3-yl)phenylalanine is described.

IT 393138-31-3P

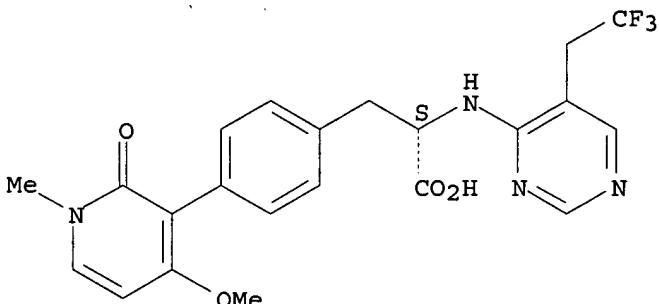
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(.alpha.-amino acid derivs. for inhibitors of leukocyte adhesion mediated by VLA-4, and therapeutic use)

RN 393138-31-3 CAPLUS

CN L-Phenylalanine, 4-(1,2-dihydro-4-methoxy-1-methyl-2-oxo-3-pyridinyl)-N-[5-(2,2,2-trifluoroethyl)-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2000:513679 CAPLUS

DOCUMENT NUMBER: 133:120681

TITLE: Preparation of amino acid acyl derivatives as inhibitors of leukocyte adhesion mediated by VLA-4

INVENTOR(S): Konradi, Andrei; Pleiss, Michael A.; Thorsett, Eugene D.; Ashwell, Susan; Welmaker, Gregory S.; Kreft, Anthony; Sarantakis, Dimitrios; Dressen, Darren B.; Grant, Francine S.; Semko, Christopher; Xu, Ying-Zi
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; American Home Products

SOURCE: PCT Int. Appl., 342 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000043372	A1	20000727	WO 2000-US1686	20000121
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,				

SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM,
 AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
 DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
 CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
 CA 2359115 AA 20000727 CA 2000-2359115 20000121
 EP 1144388 A1 20011017 EP 2000-913245 20000121
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO
 BR 200007663 A 20020507 BR 2000-7663 20000121
 US 6479492 B1 20021112 US 2000-489378 20000121
 US 6492372 B1 20021210 US 2000-489377 20000121
 NO 2001003600 A 20010920 NO 2001-3600 20010720
 US 2003125324 A1 20030703 US 2002-218366 20020815
 US 2003144328 A1 20030731 US 2002-218445 20020815
 US 2003139402 A1 20030724 US 2002-251442 20020920
 PRIORITY APPLN. INFO.: US 1999-116923P A2 19990122
 US 1999-160999P P 19991021
 US 2000-489377 A3 20000121
 US 2000-489378 A3 20000121
 WO 2000-US1686 W 20000121

OTHER SOURCE(S): MARPAT 133:120681

AB Disclosed are compds. R2-W:CR1-Q-CR3R3'COX and R2-W'-CHR1-Q-CR3R3'COX [R1 and R2 are joined to form a ring; R3, R3' = H, iso-Pr, -CH2Z or :CHZ, where Z = H, acylamino, alkyl, alkoxy, aryloxy, aryl, aryloxyaryl, carboxy, carboxyalkyl, etc.; Q = O, S, SO, SO2, NH or imino group; W = nitrogen, carbon; W' = nitrogen, carbon, oxygen, sulfur, SO, SO2; X = OH, (un)substituted alkoxy, alkenoxy, cycloalkoxy, cycloalkenoxy, aryloxy, heteroaryloxy or heterocyclxyloxy, an amino group] which bind VLA-4. Thus, N-[5-(N-4-toluenesulfonylamino)pyrimidin-4-yl]-L-4-(N,N-dimethylcarbamyloxy)phenylalanine tert-Bu ester was prep'd. by condensation of L-4-(N,N-dimethylcarbamyloxy)phenylalanine tert-Bu ester with 2,4-dichloro-5-nitropyrimidine, followed by nitro group redn. and tosylation. Compds. synthesized in the examples are expected to have a binding affinity to VLA-4 expressed by an IC50 of 15 .mu.M or less.

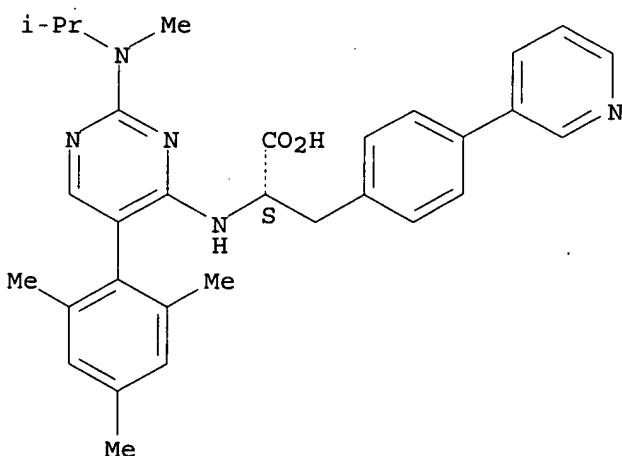
IT 285140-58-1P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of amino acid acyl derivs. as inhibitors of leukocyte adhesion mediated by VLA-4)

RN 285140-58-1 CAPLUS

CN L-Phenylalanine, N-[2-[methyl(1-methylethyl)amino]-5-(2,4,6-trimethylphenyl)-4-pyrimidinyl]-4-(3-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



09/ 910,702

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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FILE 'REGISTRY' ENTERED AT 12:26:50 ON 16 NOV 2003

L1 STRUCTURE uploaded
L2 2 S L1 FUL

FILE 'CAPLUS' ENTERED AT 12:27:17 ON 16 NOV 2003

L3 2 S L2

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